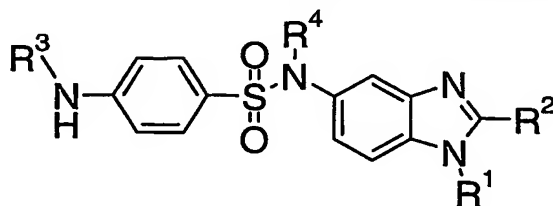


What is claimed is:

1. A compound of Formula I or a pharmaceutically acceptable salt thereof:



**I**

5 wherein

- $R^1$  is selected from  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl,  $C_{4-8}$ cycloalkenyl- $C_{1-4}$ alkyl,  $C_{3-6}$ heterocycloalkyl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{4-8}$ cycloalkenyl, and  $C_{3-6}$ heterocycloalkyl, wherein said  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl,  $C_{4-8}$ cycloalkenyl- $C_{1-4}$ alkyl,  $C_{3-6}$ heterocycloalkyl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{4-8}$ cycloalkenyl, and  $C_{3-6}$ heterocycloalkyl used in defining  $R^1$  is optionally substituted by one or more groups selected from halogen, cyano, nitro, methoxy, ethoxy, methyl, ethyl, hydroxy, amino,  $C_{1-6}$ alkylamino and  $diC_{1-6}$ alkylamino;

- $R^2$  is selected from  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl, and  $C_{4-8}$ cycloalkenyl- $C_{1-4}$ alkyl, wherein said  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl, and  $C_{4-8}$ cycloalkenyl- $C_{1-4}$ alkyl used in defining  $R^2$  is optionally substituted by one or more groups selected from halogen, methoxy, ethoxy, methyl, ethyl, hydroxy, amino,  $C_{1-6}$ alkylamino and  $diC_{1-6}$ alkylamino;

- $R^3$  is selected from -H,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl, and  $C_{1-6}$ acyl, wherein said  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl, and  $C_{1-6}$ acyl used in defining  $R^3$  is optionally substituted with one or more groups selected from  $CH_3C(=O)-O-$ , halogen, cyano, methoxy, ethoxy, hydroxy, amino, alkylamino, dialkylamino, and  $C_{3-6}$ heterocycloalkyl; and

- $R^4$  is selected from -H,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl.

2. A compound as claimed in claim 1, wherein

$R^1$  is selected from  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl,  $C_{4-6}$ cycloalkenyl- $C_{1-4}$ alkyl and  $C_{3-6}$ heterocycloalkyl- $C_{1-4}$ alkyl, wherein said  $C_{1-6}$ alkyl,

C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-6</sub>cycloalkenyl-C<sub>1-4</sub>alkyl and C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl used in defining R<sup>1</sup> is optionally substituted by one or more groups selected from halogen, methoxy, ethoxy, methyl, hydroxy and amino;

R<sup>2</sup> is selected from C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-6</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-6</sub>cycloalkenyl-C<sub>1-4</sub>alkyl used in defining R<sup>2</sup> is optionally substituted by one or more groups selected from halogen, methoxy, ethoxy and hydroxy;

R<sup>3</sup> is selected from -H, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, and C<sub>1-6</sub>acyl, wherein said C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, and C<sub>1-6</sub>acyl used in defining R<sup>3</sup> is optionally substituted with one or more groups selected from CH<sub>3</sub>C(=O)-O-, halogen, methoxy, ethoxy, hydroxy, amino, methylamino, dimethylamino, and C<sub>3-6</sub>heterocycloalkyl; and

R<sup>4</sup> is selected from -H and C<sub>1-3</sub>alkyl.

3. A compound as claimed in claim 1,

R<sup>1</sup> is selected from cyclopentyl-methyl, cyclohexyl-methyl, cyclobutyl-methyl, cyclopropyl-methyl, 4,4-difluorocyclohexyl-methyl, bicyclo[2.2.1]hept-5-en-2-ylmethyl, tetrahydropyranyl-methyl, tetrahydropyranyl-ethyl, tetrahydrofuranyl-methyl, morpholinyl-methyl, piperdinyethyl, N-methyl-piperdinylmethyl, and piperdiny-methyl;

R<sup>2</sup> is selected from t-butyl, n-butyl, 2-methyl-2-butyl, isopentyl, 2-methoxy-2-propyl, 2-hydroxy-propyl, trifluoromethyl, 1,1-difluoroethyl, 2,2,2-trifluoroethyl, 1-methyl-propyl, 1,1-dimethyl-propyl, 1,1-dimethyl-3-buten-1-yl, ethyl, and 2-propyl;

R<sup>3</sup> is selected from -H, C<sub>1-6</sub>alkyl, and C<sub>1-6</sub>acyl, wherein said C<sub>1-6</sub>alkyl, and C<sub>1-6</sub>acyl used in defining R<sup>3</sup> is optionally substituted with one or more groups selected from CH<sub>3</sub>C(=O)-O-, halogen, methoxy, hydroxy, amino, methylamino, dimethylamino, pyrrolidinyl, and morpholinyl; and

R<sup>4</sup> is selected from -H and methyl.

4. A compound as claimed in claim 1, wherein

R<sup>1</sup> is selected from cyclohexyl-methyl, cyclopentyl-methyl, cyclobutyl-methyl, cyclopropyl-methyl, 4,4-difluorocyclohexyl-methyl and tetrahydropyranyl-methyl;

$R^2$  is t-butyl and 1,1-difluoroethyl;

$R^3$  is selected from -H, methyl, ethyl, propyl, 2-propyl, 2-hydroxyethyl, 2-methoxyethyl, formyl, acetyl, ethylcarbonyl, 2-propylcarbonyl, t-butylcarbonyl, uriedo, N-isopropyl-ureido, 2-amino-acetyl, 2-methylamino-acetyl, 2-dimethylamino-acetyl, 2-acetyloxy-acetyl, 2-hydroxy-acetyl, 2-bromo-acetyl, 2-(morpholin-1-yl)-acetyl, and 2-(pyrrolidin-1-yl)-acetyl; and

$R^4$  is selected from -H and methyl.

5. A compound selected from:

- 10 *N*-(4-{[[2-*tert*-butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl) acetamide;  
*N*-[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-*N*-methyl-4-nitrobenzenesulfonamide;  
 4-Amino-*N*-[2-*tert*-butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-*N*-  
 15 methylbenzenesulfonamide;  
*N*-(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)propanamide;  
*N*-(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-2-methylpropanamide;  
 20 *N*-(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-2,2-dimethylpropanamide;  
*N*-[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-4-(ethylamino)-*N*-methylbenzenesulfonamide;  
*N*-[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-4-(formylamino)-*N*-  
 25 methylbenzenesulfonamide;  
*N*-(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-2-pyrrolidin-1-ylacetamide;  
*N*<sup>1</sup>-(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-*N*<sup>2</sup>,*N*<sup>2</sup>-dimethylglycinamide;  
 30 *N*-(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-2-morpholin-4-ylacetamide;  
*N*<sup>1</sup>-(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)glycinamide;

- 2-[(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)amino]-2-oxoethyl acetate;  
*N*-(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-2-hydroxyacetamide;
- 5 5-Bromo-*N*-[2-*tert*-butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-6-chloro-*N*-methylpyridine-3-sulfonamide;  
 5-Bromo-*N*-[2-*tert*-butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-6-[(2-hydroxyethyl)amino]-*N*-methylpyridine-3-sulfonamide;  
*N*-[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-6-[(2-
- 10 hydroxyethyl)amino]-*N*-methylpyridine-3-sulfonamide;  
*N*-(5-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}pyridin-2-yl)acetamide;  
*N*-(3-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)acetamide;
- 15 *N*<sup>1</sup>-(4-{[[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-*N*<sup>2</sup>-(2-hydroxyethyl)glycinamide;  
 4-[(Aminocarbonyl)amino]-*N*-[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-*N*-methylbenzenesulfonamide;  
*N*-(4-{[[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-
- 20 yl](methyl)amino]sulfonyl}phenyl)acetamide;  
*N*-(4-{[[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-*N*-methylacetamide;  
*N*-(4-{[[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-2,2-dimethylpropanamide;
- 25 *N*-(4-{[[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-2-hydroxyacetamide;  
*N*<sup>1</sup>-(4-{[[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-*N*<sup>2</sup>,*N*<sup>2</sup>-dimethylglycinamide;  
*N*<sup>1</sup>-(4-{[[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-
- 30 yl](methyl)amino]sulfonyl}phenyl)glycinamide;  
*N*<sup>1</sup>-(4-{[[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)-*N*<sup>2</sup>-methylglycinamide;

*N*-[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-6-[(2-hydroxyethyl)amino]-*N*-methylpyridine-3-sulfonamide;

*N*-[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-6-[(2-methoxyethyl)amino]-*N*-methylpyridine-3-sulfonamide;

5 *N*-[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-6-(formylamino)-*N*-methylpyridine-3-sulfonamide;

*N*-(5-{[[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}pyridin-2-yl)acetamide;

10 *N*-[4-({[2-*tert*-Butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]acetamide;

*N*-[4-({[2-*tert*-Butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]acetamide;

*N*-(4-{[[2-*tert*-Butyl-1-(2-piperidin-1-ylethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)acetamide;

15 *N*-(4-{[[2-*tert*-Butyl-1-(1,4-dioxan-2-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)acetamide;

*N*-(4-{[[2-*tert*-Butyl-1-[(1-methylpiperidin-2-yl)methyl]-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)acetamide;

20 *N*-(4-{[[2-*tert*-Butyl-1-[(2*R*)-1-methylpiperidin-2-yl]methyl]-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl}phenyl)acetamide;

*N*-[4-({methyl[1-(tetrahydro-2*H*-pyran-4-ylmethyl)-2-(trifluoromethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]acetamide;

4-Bromo-*N*-[1-(cyclohexylmethyl)-2-(1,1-dimethylethyl)-1*H*-benzimidazol-5-yl]-*N*-methyl-benzenesulfonamide;

25 *N*-[2-*tert*-butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-4-[(2-hydroxyethyl)amino]-*N*-methylbenzenesulfonamide;

*N*-[2-*tert*-butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-4-(dimethylamino)-*N*-methylbenzenesulfonamide;

30 4-bis(2-hydroxyethyl)amino]-*N*-[2-*tert*-butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-*N*-methylbenzenesulfonamide;

*N*-[2-*tert*-butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl]-*N*,4-dimethyl-3,4-dihydro-2*H*-1,4-benzoxazine-7-sulfonamide;

*N*-[4-({methyl[2-(1-methyl-1-pyridin-2-ylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]acetamide;

*N*-(4-{[[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](ethyl)amino}sulfonyl)phenyl)acetamide;

5 4-[(aminocarbonyl)amino]-*N*-[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-*N*-ethylbenzenesulfonamide;

*N*-[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-*N*-ethyl-4-{[(methylamino)carbonyl]amino}benzenesulfonamide;

10 4-amino-*N*-[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-*N*-ethylbenzenesulfonamide;

*N*-(4-{[[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](ethyl)amino}sulfonyl)phenyl)-2,2-dimethylpropanamide;

2-[(4-{[[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](ethyl)amino}sulfonyl)phenyl)amino]-2-oxoethyl acetate;

15 *N*-(4-{[[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](ethyl)amino}sulfonyl)phenyl)-2-hydroxyacetamide;

*N*-[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-*N*-ethyl-4-{[(isopropylamino)carbonyl]amino}benzenesulfonamide;

20 *N*-[4-({ethyl[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]acetamide;

4-[(aminocarbonyl)amino]-*N*-ethyl-*N*-[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]benzenesulfonamide;

*N*-ethyl-*N*-[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-4-{[(methylamino)carbonyl]amino}benzenesulfonamide;

25 4-amino-*N*-ethyl-*N*-[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]benzenesulfonamide;

*N*-[4-({ethyl[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]-2,2-dimethylpropanamide;

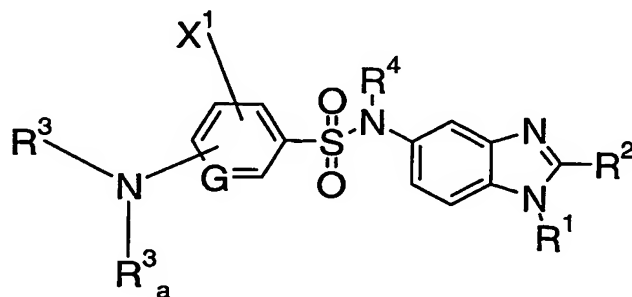
30 2-{[4-({ethyl[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]amino}-2-oxoethyl acetate;

*N*-[4-({ethyl[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]-2-hydroxyacetamide;

- N*-ethyl-4-[[[(isopropylamino)carbonyl]amino]-*N*-[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]benzenesulfonamide;  
*N*-(4-[[[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl]phenyl)acetamide;  
5 4-[(aminocarbonyl)amino]-*N*-[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-*N*-methylbenzenesulfonamide;  
2-Hydroxy-*N*-(4-[[[2-(1-methoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl]phenyl)acetamide;  
*N*-(4-[[[2-(1-ethoxy-1-methylethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-  
10 benzimidazol-5-yl](methyl)amino]sulfonyl]phenyl)acetamide;  
*N*-[4-({[1-(2-azetidin-1-ylethyl)-2-*tert*-butyl-1*H*-benzimidazol-5-yl]amino} sulfonyl)phenyl]acetamide;  
3-[5-({[4-(acetylamino)phenyl]sulfonyl} amino)-2-*tert*-butyl-1*H*-benzimidazol-1-yl]propyl acetate;  
15 *N*-(4-[(1-[(1*S*,4*S*)-bicyclo[2.2.1]hept-5-en-2-ylmethyl]-2-*tert*-butyl-1*H*-benzimidazol-5-yl] amino)sulfonyl]phenyl)acetamide;  
*N*-[4-({[2-*tert*-butyl-1-(tetrahydro-2*H*-pyran-3-ylmethyl)-1*H*-benzimidazol-5-yl]amino} sulfonyl)phenyl]acetamide;  
*N*-(4-[(2-*tert*-butyl-1-[2-(tetrahydro-2*H*-pyran-4-yl)ethyl]-1*H*-benzimidazol-5-yl] amino)sulfonyl]phenyl)acetamide;  
20 *N*-(4-[[[2-*tert*-butyl-1-(cyclobutylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl]phenyl)acetamide;  
4-[(aminocarbonyl)amino]-*N*-[2-*tert*-butyl-1-(cyclobutylmethyl)-1*H*-benzimidazol-5-yl]-*N*-methylbenzenesulfonamide;  
25 *N*-(4-[[[2-*tert*-butyl-1-(cyclobutylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl]phenyl)-2,2-dimethylpropanamide;  
*N*-(4-[[[2-(1,1-difluoroethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl]phenyl)-2-hydroxyacetamide;  
*N*-(4-[[[2-(1,1-difluoroethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-  
30 benzimidazol-5-yl](methyl)amino]sulfonyl]phenyl)acetamide;  
*N*-(4-[[[2-(1,1-difluoroethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl](methyl)amino]sulfonyl]phenyl)-3-methylbutanamide;

*N*-(4-{[[2-(1,1-difluoroethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-  
 benzimidazol-5-yl](methylamino)sulfonyl}phenyl)-2,2-dimethylpropanamide;  
*N*-[2-(1,1-difluoroethyl)-1-(tetrahydro-2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-  
 yl]-4-{[(isopropylamino)carbonyl]amino}-*N*-methylbenzenesulfonamide;  
 5 4-{Bis[(isopropylamino)carbonyl]amino}-*N*-[2-(1,1-difluoroethyl)-1-(tetrahydro-  
 2*H*-pyran-4-ylmethyl)-1*H*-benzimidazol-5-yl]-*N*-methylbenzenesulfonamide;  
*N*-[4-({methyl[1-(tetrahydro-2*H*-pyran-4-ylmethyl)-2-(trifluoromethyl)-1*H*-  
 benzimidazol-5-yl]amino}sulfonyl)phenyl]acetamide;  
 4-[(aminocarbonyl)amino]-*N*-methyl-*N*-[1-(tetrahydro-2*H*-pyran-4-ylmethyl)-2-  
 10 (trifluoromethyl)-1*H*-benzimidazol-5-yl]benzenesulfonamide;  
*N*-methyl-4-nitro-*N*-[1-(tetrahydro-2*H*-pyran-4-ylmethyl)-2-(trifluoromethyl)-1*H*-  
 benzimidazol-5-yl]benzenesulfonamide;  
 4-amino-*N*-methyl-*N*-[1-(tetrahydro-2*H*-pyran-4-ylmethyl)-2-(trifluoromethyl)-  
 1*H*-benzimidazol-5-yl]benzenesulfonamide;  
 15 2,2-dimethyl-*N*-[4-({methyl[1-(tetrahydro-2*H*-pyran-4-ylmethyl)-2-  
 (trifluoromethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]propanamide;  
 2-[[4-({methyl[1-(tetrahydro-2*H*-pyran-4-ylmethyl)-2-(trifluoromethyl)-1*H*-  
 benzimidazol-5-yl]amino}sulfonyl)phenyl]amino]-2-oxoethyl acetate;  
 4-[[isopropylamino)carbonyl]amino]-*N*-methyl-*N*-[1-(tetrahydro-2*H*-pyran-4-  
 20 ylmethyl)-2-(trifluoromethyl)-1*H*-benzimidazol-5-yl]benzenesulfonamide;  
 2-Hydroxy-*N*-[4-({methyl[1-(tetrahydro-2*H*-pyran-4-ylmethyl)-2-  
 (trifluoromethyl)-1*H*-benzimidazol-5-yl]amino}sulfonyl)phenyl]acetamide  
 and pharmaceutically acceptable salts thereof.

25 6. A compound of Formula IA, a pharmaceutically acceptable salt thereof,  
 diastereomers, enantiomers, or mixtures thereof:



**IA**



wherein

G is CH or N;

X<sup>1</sup> is halogen;

R<sup>1</sup> is selected from C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, C<sub>4-8</sub>cycloalkenyl, and C<sub>3-6</sub>heterocycloalkyl, wherein said C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, C<sub>4-8</sub>cycloalkenyl, and C<sub>3-6</sub>heterocycloalkyl used in defining R<sup>1</sup> is optionally substituted by one or more groups selected from halogen, cyano, nitro, methoxy, ethoxy, methyl, ethyl, hydroxy, CH<sub>3</sub>C(=O)-O-, amino, C<sub>1-6</sub>alkylamino and diC<sub>1-6</sub>alkylamino;

R<sup>2</sup> is selected from C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl used in defining R<sup>2</sup> is optionally substituted by one or more groups selected from halogen, C<sub>3-6</sub>heteroaryl, methoxy, ethoxy, methyl, ethyl, hydroxy, amino, C<sub>1-6</sub>alkylamino and diC<sub>1-6</sub>alkylamino;

R<sup>3</sup> and R<sup>3</sup><sub>a</sub> are independently selected from -H, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>1-3</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl-HN-C(=O)-, H<sub>2</sub>N-C(=O)-, and C<sub>1-6</sub>acyl, wherein said C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, and C<sub>1-6</sub>acyl used in defining R<sup>3</sup> is optionally substituted with one or more groups selected from CH<sub>3</sub>C(=O)-O-, halogen, cyano, methoxy, ethoxy, hydroxy, amino, C<sub>1-6</sub>alkylamino, diC<sub>1-6</sub>alkylamino, and C<sub>3-6</sub>heterocycloalkyl; and

R<sup>4</sup> is selected from -H, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl.

7. A compound as claimed in claim 6

wherein

G is CH or N;

R<sup>1</sup> is selected from C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-6</sub>cycloalkenyl-C<sub>1-4</sub>alkyl and C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-6</sub>cycloalkenyl-C<sub>1-4</sub>alkyl and C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl used in defining R<sup>1</sup> is optionally substituted by one or

more groups selected from halogen, methoxy, ethoxy, methyl, hydroxy,  $\text{CH}_3\text{C}(=\text{O})\text{-O-}$ , amino,  $\text{C}_{1-6}$ alkylamino and  $\text{diC}_{1-6}$ alkylamino;

$\text{R}^2$  is selected from  $\text{C}_{1-6}$ alkyl,  $\text{C}_{2-6}$ alkenyl,  $\text{C}_{3-6}$ cycloalkyl,  $\text{C}_{3-6}$ cycloalkyl- $\text{C}_{1-4}$ alkyl, and  $\text{C}_{4-6}$ cycloalkenyl- $\text{C}_{1-4}$ alkyl, wherein said  $\text{C}_{1-6}$ alkyl,  $\text{C}_{2-6}$ alkenyl,  $\text{C}_{3-6}$ cycloalkyl,  $\text{C}_{3-6}$ cycloalkyl- $\text{C}_{1-4}$ alkyl, and  $\text{C}_{4-6}$ cycloalkenyl- $\text{C}_{1-4}$ alkyl used in defining  $\text{R}^2$  is optionally substituted by one or more groups selected from halogen,  $\text{C}_{3-5}$ heteroaryl, methoxy, ethoxy and hydroxy;

$\text{R}^3$  is selected from  $-\text{H}$ ,  $\text{C}_{1-6}$ alkyl,  $\text{C}_{2-6}$ alkenyl,  $\text{C}_{1-3}$ alkyl- $\text{O-C}(=\text{O})\text{-}$ ,  $\text{C}_{1-3}$ alkyl- $\text{HN-C}(=\text{O})\text{-}$ ,  $\text{H}_2\text{N-C}(=\text{O})\text{-}$ , and  $\text{C}_{1-6}$ acyl, wherein said  $\text{C}_{1-6}$ alkyl,  $\text{C}_{2-6}$ alkenyl, and  $\text{C}_{1-6}$ acyl used in defining  $\text{R}^3$  is optionally substituted with one or more groups selected from  $\text{CH}_3\text{C}(=\text{O})\text{-O-}$ , halogen, methoxy, ethoxy, hydroxy, amino, methylamino, dimethylamino, and  $\text{C}_{3-6}$ heterocycloalkyl; and

$\text{R}^4$  is selected from  $-\text{H}$  and  $\text{C}_{1-3}$ alkyl.

8. A compound as claimed in claim 6

wherein G is CH or N;

$\text{R}^1$  is selected from cyclopentyl-methyl, cyclohexyl-methyl, cyclobutyl-methyl, cyclopropyl-methyl, 4,4-difluorocyclohexanemethyl, bicyclo[2.2.1]hept-5-en-2-ylmethyl, tetrahydropyranyl-methyl, tetrahydropyranyl-ethyl, tetrahydrofuranyl-methyl, morpholinyl-methyl, piperdinylethyl, N-methyl-piperidinylmethyl, and piperdinyl-methyl;

$\text{R}^2$  is selected from t-butyl, n-butyl, 2-methyl-2-butyl, isopentyl, 2-methoxy-2-propyl, 2-hydroxy-propyl, trifluoromethyl, 1,1-difluoroethyl, 2,2,2-trifluoroethyl, 1-methyl-propyl, 1,1-dimethyl-propyl, 1,1-dimethyl-3-buten-1-yl, ethyl, and 2-propyl;

$\text{R}^3$  is selected from  $-\text{H}$ ,  $\text{C}_{1-6}$ alkyl, and  $\text{C}_{1-6}$ acyl, wherein said  $\text{C}_{1-6}$ alkyl, and  $\text{C}_{1-6}$ acyl used in defining  $\text{R}^3$  is optionally substituted with one or more groups selected from  $\text{CH}_3\text{C}(=\text{O})\text{-O-}$ , halogen, methoxy, hydroxy, amino, methylamino, dimethylamino, pyrrolidinyl, piperidinyl and morpholinyl; and

$\text{R}^4$  is selected from  $-\text{H}$  and methyl.

9. A compound as claimed in claim 6

wherein

G is CH or N;

$X^1$  is bromo;

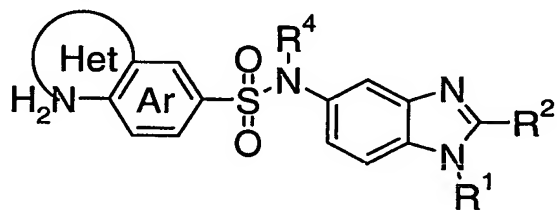
$R^1$  is cyclohexyl-methyl, cyclobutyl-methyl, 4,4-difluorocyclohexanemethyl, N-methylpiperidine-2-yl methyl, and tetrahydropyranyl-methyl;

$R^2$  is t-butyl and 1,1-difluoroethyl;

5  $R^3$  is selected from -H, methyl, ethyl, propyl, 2-propyl, 2-hydroxyethyl, 2-methoxyethyl, formyl, acetyl, uriedo, N-isopropyl-ureido, ethylcarbonyl, 2-propylcarbonyl, t-butylcarbonyl, 2-amino-acetyl, 2-methylamino-acetyl, 2-dimethylamino-acetyl, 2-acetyloxy-acetyl, 2-hydroxy-acetyl, 2-bromo-acetyl, 2-(morpholin-1-yl)-acetyl, and 2-(pyrrolidin-1-yl)-acetyl; and

10  $R^4$  is selected from -H and methyl.

10. A compound of Formula IB, a pharmaceutically acceptable salt thereof, diastereomers, enantiomers, or mixtures thereof:



**IB**

wherein

$R^1$  is selected from  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl,  $C_{4-8}$ cycloalkenyl- $C_{1-4}$ alkyl,  $C_{3-6}$ heterocycloalkyl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{4-8}$ cycloalkenyl, and  $C_{3-6}$ heterocycloalkyl, wherein said  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl,  $C_{4-8}$ cycloalkenyl- $C_{1-4}$ alkyl,  $C_{3-6}$ heterocycloalkyl- $C_{1-4}$ alkyl,  $C_{3-10}$ cycloalkyl,  $C_{4-8}$ cycloalkenyl, and  $C_{3-6}$ heterocycloalkyl used in defining  $R^1$  is optionally substituted by one or more groups selected from halogen, cyano, nitro, methoxy, ethoxy, methyl, ethyl, hydroxy, amino,  $C_{1-6}$ alkylamino and  $diC_{1-6}$ alkylamino;

25  $R^2$  is selected from  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl, and  $C_{4-8}$ cycloalkenyl- $C_{1-4}$ alkyl, wherein said  $C_{1-10}$ alkyl,  $C_{2-10}$ alkenyl,  $C_{3-10}$ cycloalkyl,  $C_{3-10}$ cycloalkyl- $C_{1-4}$ alkyl, and  $C_{4-8}$ cycloalkenyl- $C_{1-4}$ alkyl used in defining  $R^2$  is optionally substituted by one or more groups selected from halogen,

methoxy, ethoxy, methyl, ethyl, hydroxy, amino, C<sub>1-6</sub>alkylamino and diC<sub>1-6</sub>alkylamino;

"Het" is a nitrogen (as shown in Formula IB) containing heterocycle ring that is fused with phenyl ring "Ar," wherein "Het" is optionally substituted with one or more groups selected from C<sub>1-3</sub>alkyl, halogen, cyano, methoxy, ethoxy, hydroxy, and amino; and

R<sup>4</sup> is selected from -H, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl.

11. A compound as claimed in claim 10 wherein

R<sup>1</sup> is selected from C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-6</sub>cycloalkenyl-C<sub>1-4</sub>alkyl and C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-6</sub>cycloalkenyl-C<sub>1-4</sub>alkyl and C<sub>3-</sub>

heterocycloalkyl-C<sub>1-4</sub>alkyl used in defining R<sup>1</sup> is optionally substituted by one or more groups selected from halogen, methoxy, ethoxy, methyl, hydroxy, amino, C<sub>1-6</sub>alkylamino and diC<sub>1-6</sub>alkylamino;

R<sup>2</sup> is selected from C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-6</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-</sub>cycloalkyl, C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-6</sub>cycloalkenyl-C<sub>1-4</sub>alkyl used in defining R<sup>2</sup> is optionally substituted by one or more groups selected from halogen, methoxy, ethoxy and hydroxy;

"Het" is morpholinyl, wherein said morpholinyl is optionally substituted with one or more groups selected from C<sub>1-3</sub>alkyl, halogen, cyano, methoxy, ethoxy, hydroxy, and amino; and

R<sup>4</sup> is selected from -H and C<sub>1-3</sub>alkyl.

12. A compound as claimed in claim 10

wherein R<sup>1</sup> is selected from cyclopentyl-methyl, cyclohexyl-methyl, cyclobutyl-methyl, cyclopropyl-methyl, 4,4-difluorocyclohexyl-methyl, bicyclo[2.2.1]hept-5-en-2-ylmethyl, tetrahydropyranyl-methyl, tetrahydropyranyl-ethyl, tetrahydrofuranyl-methyl, morpholinyl-methyl, piperdinyethyl, N-methyl-piperdinylmethyl, and piperdinyl-methyl;

R<sup>2</sup> is selected from t-butyl, n-butyl, 2-methyl-2-butyl, isopentyl, 2-methoxy-2-propyl, 2-hydroxy-propyl, trifluoromethyl, 1,1-difluoroethyl, 2,2,2-trifluoroethyl, 1-methyl-propyl, 1,1-dimethyl-propyl, 1,1-dimethyl-3-buten-1-yl, ethyl, and 2-propyl;

"Het" is morpholinyl, wherein said morpholinyl is optionally substituted with one or more C<sub>1-3</sub>alkyl; and

R<sup>4</sup> is selected from -H and methyl.

13. A compound as claimed in claim 10 wherein

R<sup>1</sup> is cyclohexyl-methyl, cyclobutyl-methyl, cyclopropyl-methyl, 4,4-difluorocyclohexanemethyl, N-methylpiperidine-2-yl methyl, and tetrahydropyranyl-methyl;

R<sup>2</sup> is t-butyl and 1,1-difluoroethyl;

"Het" is morpholinyl, wherein said morpholinyl is optionally substituted with one or more C<sub>1-3</sub>alkyl; and

R<sup>4</sup> is selected from -H and methyl.

14. A compound according to any one of claims 1-13 for use as a medicament.

15. The use of a compound according to any one of claims 1-13 in the manufacture of a medicament for the therapy of pain.

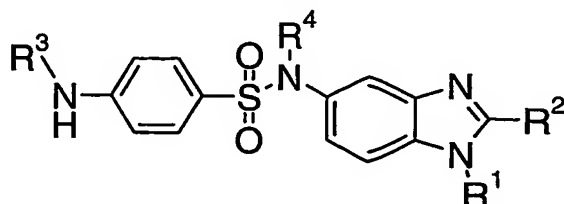
16. The use of a compound according to any one of claims 1-13 in the manufacture of a medicament for the treatment of anxiety disorders.

17. The use of a compound according to any one of claims 1-13 in the manufacture of a medicament for the treatment of cancer, multiple sclerosis, Parkinson's disease, cancer, Huntington's chorea, Alzheimer's disease, gastrointestinal disorders and cardiovascular disorders.

18. A pharmaceutical composition comprising a compound according to any one of claims 1-13 and a pharmaceutically acceptable carrier.

19. A method for the therapy of pain in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-13.

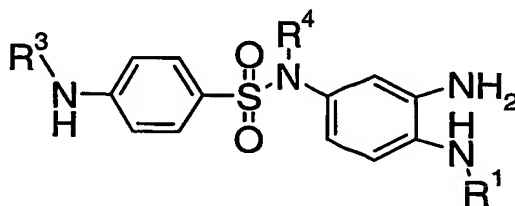
5 20. A method for preparing a compound of Formula I,



I

comprising:

reacting a compound of Formula II,



II

with a compound of R<sup>2</sup>COX, in the presence of a base, such as an alkylamine, and optionally a coupling reagent, followed by treatment with an acid;

wherein

15 X is selected from Cl, Br, F and OH;

R<sup>1</sup> is selected from C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, C<sub>4-8</sub>cycloalkenyl, and C<sub>3-6</sub>heterocycloalkyl, wherein said C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, C<sub>4-8</sub>cycloalkenyl, and C<sub>3-6</sub>heterocycloalkyl used in defining R<sup>1</sup> is optionally substituted by one or more groups selected from halogen, cyano, nitro, methoxy, ethoxy, methyl, ethyl, hydroxy, amino, C<sub>1-6</sub>alkylamino and diC<sub>1-6</sub>alkylamino;

25 R<sup>2</sup> is selected from C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl used in

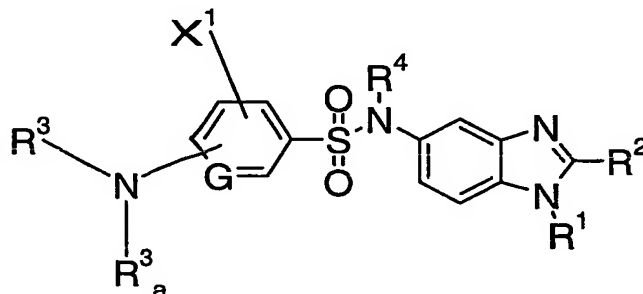
defining  $R^2$  is optionally substituted by one or more groups selected from halogen, methoxy, ethoxy, methyl, ethyl, hydroxy, amino,  $C_{1-6}$ alkylamino and  $diC_{1-6}$ alkylamino;

$R^3$  is selected from  $-H$ ,  $C_{1-6}$ alkyl and  $C_{1-6}$ acyl optionally substituted with one or more groups selected from  $CH_3C(=O)-O-$ , halogen, cyano, methoxy, ethoxy, hydroxy, amino, alkylamino, dialkylamino, and  $C_{3-6}$ heterocycloalkyl; and

$R^4$  is selected from  $-H$ ,  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{3-6}$ cycloalkyl, and  $C_{3-6}$ cycloalkyl- $C_{1-4}$ alkyl.

21. A compound of 2-Bromo-*N*-(4-[[[2-*tert*-butyl-1-(cyclohexylmethyl)-1*H*-benzimidazol-5-yl](methylamino)sulfonyl}phenyl)acetamide.

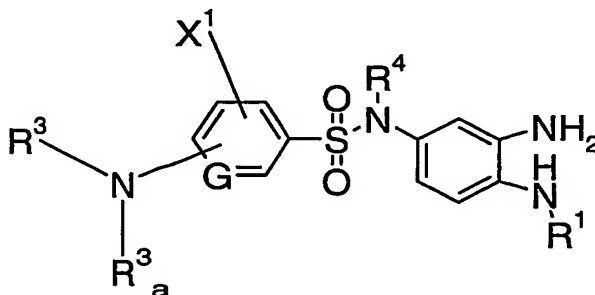
22. A method for preparing a compound of Formula IA,



IA

comprising:

reacting a compound of Formula IIA,



IIA

with a compound of  $R^2COX$ , in the presence of a base, such as an alkylamine, and optionally a coupling reagent, followed by treatment with an acid; wherein

X and X<sup>1</sup> are independently selected from Cl, Br, F and OH;

G is CH or N;

R<sup>1</sup> is selected from C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, C<sub>4-8</sub>cycloalkenyl, and C<sub>3-6</sub>heterocycloalkyl, wherein said C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, C<sub>3-6</sub>heterocycloalkyl-C<sub>1-4</sub>alkyl, C<sub>3-10</sub>cycloalkyl, C<sub>4-8</sub>cycloalkenyl, and C<sub>3-6</sub>heterocycloalkyl used in defining R<sup>1</sup> is optionally substituted by one or more groups selected from halogen, cyano, nitro, methoxy, ethoxy, methyl, ethyl, hydroxy, CH<sub>3</sub>C(=O)-O-, amino, C<sub>1-6</sub>alkylamino and diC<sub>1-6</sub>alkylamino;

R<sup>2</sup> is selected from C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl, wherein said C<sub>1-10</sub>alkyl, C<sub>2-10</sub>alkenyl, C<sub>3-10</sub>cycloalkyl, C<sub>3-10</sub>cycloalkyl-C<sub>1-4</sub>alkyl, and C<sub>4-8</sub>cycloalkenyl-C<sub>1-4</sub>alkyl used in defining R<sup>2</sup> is optionally substituted by one or more groups selected from halogen, C<sub>3-5</sub>heteroaryl, methoxy, ethoxy, methyl, ethyl, hydroxy, amino, C<sub>1-6</sub>alkylamino and diC<sub>1-6</sub>alkylamino;

R<sup>3</sup> and R<sup>3</sup><sub>a</sub> are independently selected from -H, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>1-3</sub>alkyl-O-C(=O)-, C<sub>1-6</sub>alkyl-HN-C(=O)-, H<sub>2</sub>N-C(=O)-, and C<sub>1-6</sub>acyl, wherein said C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, and C<sub>1-6</sub>acyl used in defining R<sup>3</sup> is optionally substituted with one or more groups selected from CH<sub>3</sub>C(=O)-O-, halogen, cyano, methoxy, ethoxy, hydroxy, amino, C<sub>1-6</sub>alkylamino, diC<sub>1-6</sub>alkylamino, and C<sub>3-6</sub>heterocycloalkyl; and

R<sup>4</sup> is selected from -H, C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>3-6</sub>cycloalkyl, and C<sub>3-6</sub>cycloalkyl-C<sub>1-4</sub>alkyl.